Cipralisant

Cat. No.: HY-106993

CAS No.: 213027-19-1

Molecular Formula: $C_{14}H_{20}N_2$

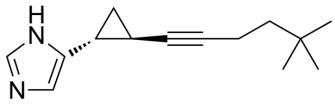
Molecular Weight: 216.32

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (924.56 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.6228 mL	23.1139 mL	46.2278 mL
5 mM	0.9246 mL	4.6228 mL	9.2456 mL
10 mM	0.4623 mL	2.3114 mL	4.6228 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Cipralisant (GT-2331) is an orally active, low-toxicity, potent, selective, high affinity histamine H3 receptor full antagonist in vivo, and an agonist in vitro, with a pK_i of 9.9 for histamine H3 receptor and a K_i of 0.47 nM for rat histamine H3 receptor. Cipralisant has the potential for attention-deficit hyperactivity disorder research[1][2][3][4]. Cipralisant is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

H_3 receptor	rat H_3 receptor
9.9 (pKi)	0.47 nM (Ki)

In Vitro

Cipralisant behaves as a full agonist on adenylyl cyclase inhibition. Cipralisant (HEK cells) potently inhibits forskolin-induced cAMP accumulation, showing that Cipralisant works as a potent full histamine H3 receptor agonist. Cipralisant increases the basal [^{35}S]GTPγS binding activities in membranes from HEK cells expressing the rat histamine H3 receptor (EC_{50}, 5.6 nM)[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Cipralisant (0.3~30 mg/kg; s.c.) enhances acquisition over five trials, reaching significance at 1 mg/kg[2].

Cipralisant (10 mg/kg; p.o.) completely blocks R-α-methylhistamine-induced drinking[3].
Cipralisant promotes wakefulness in the rat. Cipralisant potently and significantly improves performance in the repeated acquisition model, in line with its high affinity for the rat H3 receptor and good CNS penetration. Cipralisant does not appear to be as efficacious as 3 mg/kg ciproxifan at its maximally effective dose [2]. Cipralisant behaves as a partial agonist in a rat brain synaptosome model[3].

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Animal Model:	Male SHR pups (35–50 g)[2]
Dosage:	0.3~30 mg/kg
Administration:	S.c.
Result:	Significantly enhanced performance of the SHR pups in a dose-related manner at 1 mg/kg.

Animal Model:	Male Sprague-Dawley rats[3]
Dosage:	10 and 30 mg/kg
Administration:	P.o.
Result:	Achieved greater brain exposure and water intake was monitored for 60 min after administration.

REFERENCES

[1]. Raddatz R, et al. Histamine H3 antagonists for treatment of cognitive deficits in CNS diseases. Curr Top Med Chem. 2010;10(2):153-169.

[2]. Fox GB, et al. Effects of histamine H(3) receptor ligands GT-2331 and ciproxifan in a repeated acquisition avoidance response in the spontaneously hypertensive rat pup. Behav Brain Res. 2002;131(1-2):151-161.

[3]. Ito S, et al. Detailed pharmacological characterization of GT-2331 for the rat histamine H3 receptor. Eur J Pharmacol. 2006;529(1-3):40-46.

[4]. Tedford CE, et al. High antagonist potency of GT-2227 and GT-2331, new histamine H3 receptor antagonists, in two functional models. Eur J Pharmacol. 1998;351(3):307-311.

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